=> b hcaplus
FILE 'HCAPLUS' ENTERED AT 13:06:08 ON 16 AUG 2004
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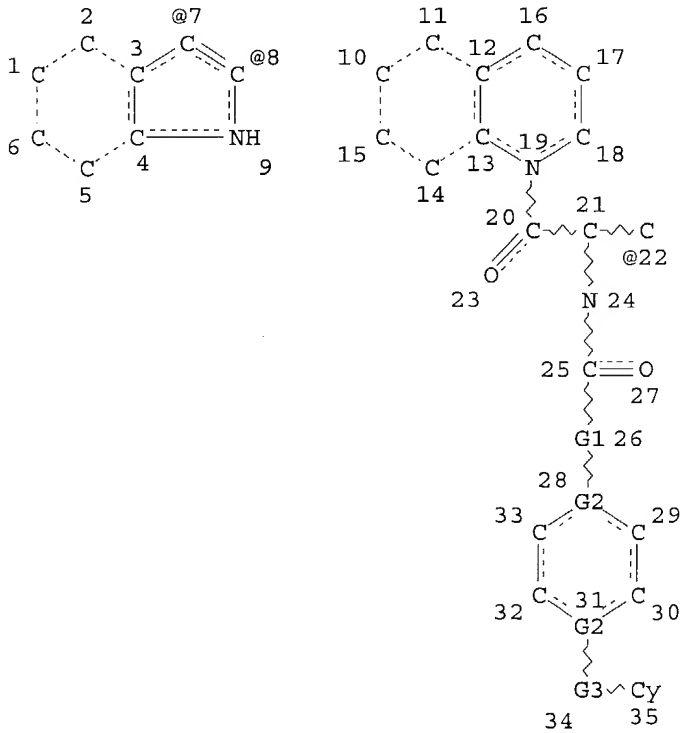
FILE COVERS 1907 - 16 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 15 Aug 2004 (20040815/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que l35
L17 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 31
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
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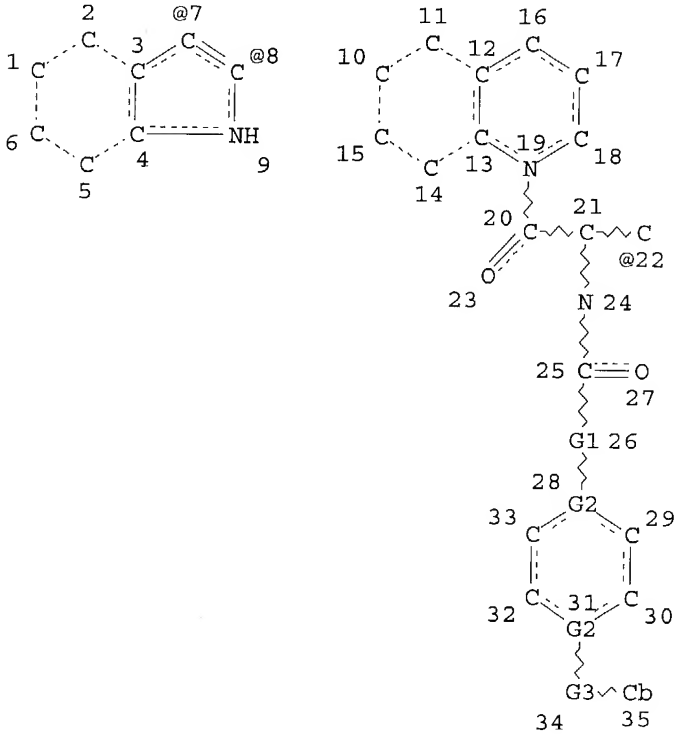

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
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=> d ibib abs hitstr l35 1-3

L35  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2003:396877  HCAPLUS
DOCUMENT NUMBER:          138:401769
TITLE:                    Preparation of [1-[3-(indol-3-yl)propanoyl]-1,2,3,4-
                          tetrahydroquinolin-3-ylmethyl]amine derivatives as
                          somatostatin receptor binding inhibitors
INVENTOR(S):              Abe, Hidenori; Kasai, Shizuo; Takekawa, Shiro;
                          Watanabe, Masanori
PATENT ASSIGNEE(S):       Takeda Chemical Industries, Ltd., Japan
SOURCE:                   PCT Int. Appl., 191 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2003042204         A1    20030522    WO 2002-JP10800          20021017
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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             TJ, TM
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     JP 2003192682         A2    20030709    JP 2002-303222           20021017
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PRIORITY APPLN. INFO.:                          JP 2001-322897      A  20011019
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OTHER SOURCE(S):          MARPAT 138:401769
GI
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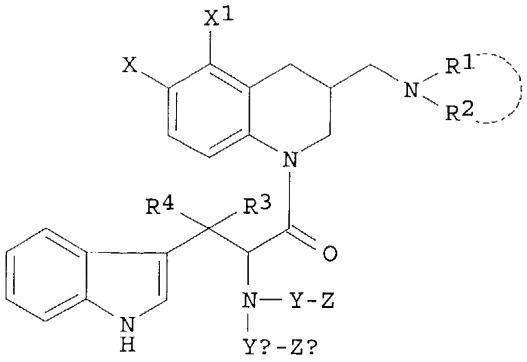


I

AB The title compds. represented by the formula (I) (wherein X and X1 are the same or different and each represents H, halo, or (un)substituted NH2; R1 and R2 are the same or different and each represents H or (un)substituted C1-6 alkyl; or NR1R2 forms (un)substituted N-containing heterocyclic ring; R3 represents an each optionally substituted hydrocarbon group or heterocyclyl; R4 represents H or an each optionally substituted hydrocarbon group or heterocyclyl; Y and Ya are the same or different and each represents a bond or a spacer having a C1-8 main chain; and Z and Za are the same or different and each represents H, halo, or (un)substituted cyclic group), salts of the compds., or prodrugs of either are prepared They have inhibitory activity against somatostatin receptor, in particular somatostatin receptor subtype 2 binding and are agonists of somatostatin receptor and effective in the prevention of and treatment for diseases in which somatostatin participates, in particular diabetes or diabetes complications. Thus, a solution of 2.6 g (2RS,3SR)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-(1H-indol-3-yl)butanoic acid and 0.06 mL DMF in 60 mL THF was treated dropwise with a solution of 0.63 mL oxalyl chloride in 5 mL THF at 0°, stirred at 0° for 30 min, concentrated, treated with 30 mL THF, and reconcd., dissolved in 30 mL THF, added dropwise at 0° to a solution of 1-[(3S)-6-chloro-1,2,3,4-tetrahydroquinolin-3-yl]-N,N-dimethylmethaneamine 0.90 g, tetrabutylammonium hydrogen sulfate 0.04 g, and NaOH powder 0.34 g, stirred at 0° for 30 min to give, after workup and silica gel chromatog., a yellow amorphous solid which was stirred with 0.2 mL piperidine in 20 mL methanol at room temperature for 16 h to give, after alumina chromatog., 49% (2RS,3SR)-1-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-3-(1H-indol-3-yl)-1-oxo-2-butanamine (II; R = H). WSC (0.10 g) was added to a solution of II 0.20, 1-[(1-methyl-1H-indol-2-yl)carbonyl]-4-piperidinecarboxylic acid 0.15 g, and HOBt 0.08 g in 10 mL MeCN, stirred at room temperature for 16 h to give, after workup and silica gel chromatog., 64% II (R = Q). II (R = Q1) in vitro inhibited the binding of 125I-somatostatin-14 to human somatostatin receptor protein subtype 2, 3, and 5 with showed IC50 of 0.05, 3, and 10, resp. A tablet formulation containing II (R = H) was described.

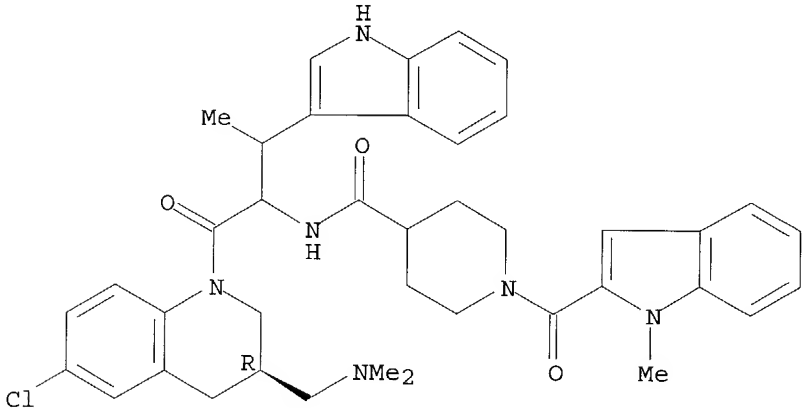
IT **528857-60-5P 528857-61-6P 528857-62-7P**
528857-63-8P 528859-47-4P 528859-95-2P
528860-04-0P 528860-07-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [[(indolylpropanoyl)tetrahydroquinolinyl]methyl]amine derivs. as somatostatin receptor binding inhibitors (agonists) for

prevention or treatment of diabetes or diabetes complications)

RN 528857-60-5 HCAPLUS

CN 4-Piperidinecarboxamide, N-[1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-1-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

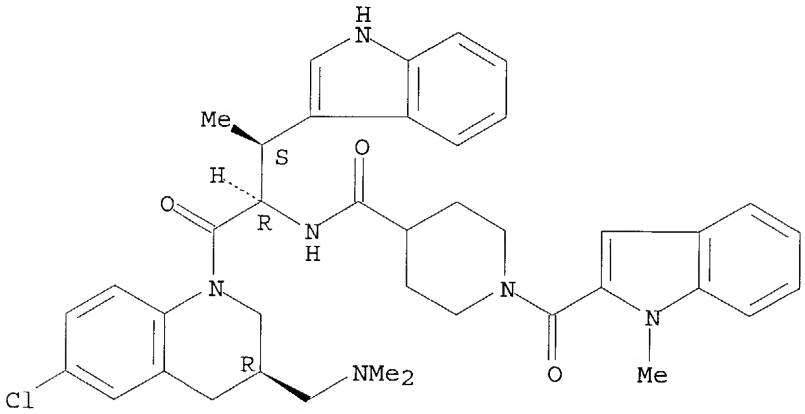
Absolute stereochemistry.



RN 528857-61-6 HCAPLUS

CN 4-Piperidinecarboxamide, N-[(1R,2S)-1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-1-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

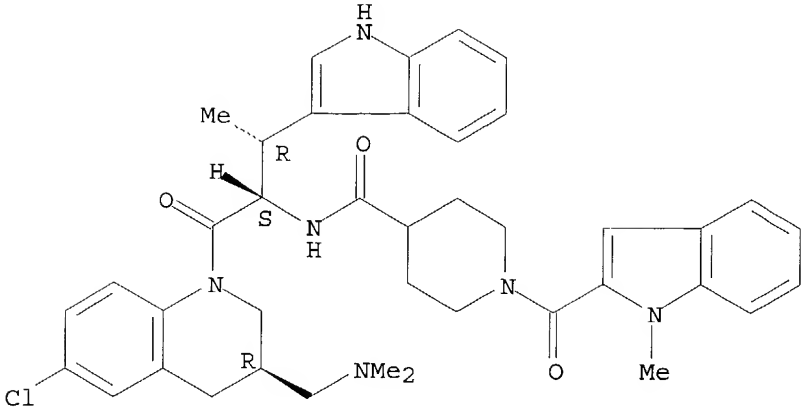
Absolute stereochemistry.



RN 528857-62-7 HCAPLUS

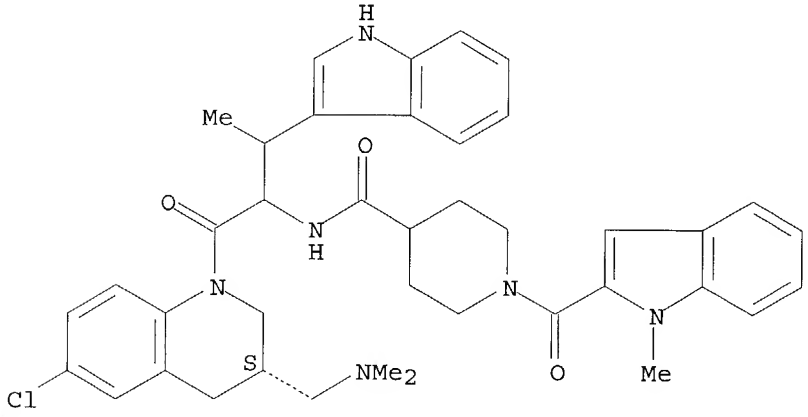
CN 4-Piperidinecarboxamide, N-[(1S,2R)-1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-1-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 528857-63-8 HCAPLUS
CN 4-Piperidinecarboxamide, N-[1-[[(3S)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-1-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

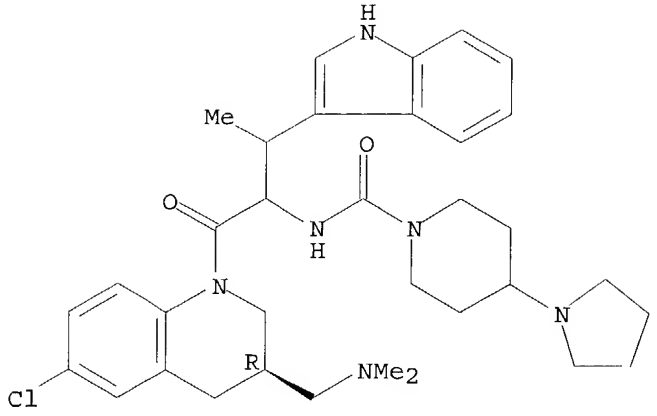


RN 528859-47-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-[1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-4-(1-pyrrolidinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

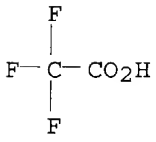
CRN 528859-46-3
CMF C34 H45 Cl N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

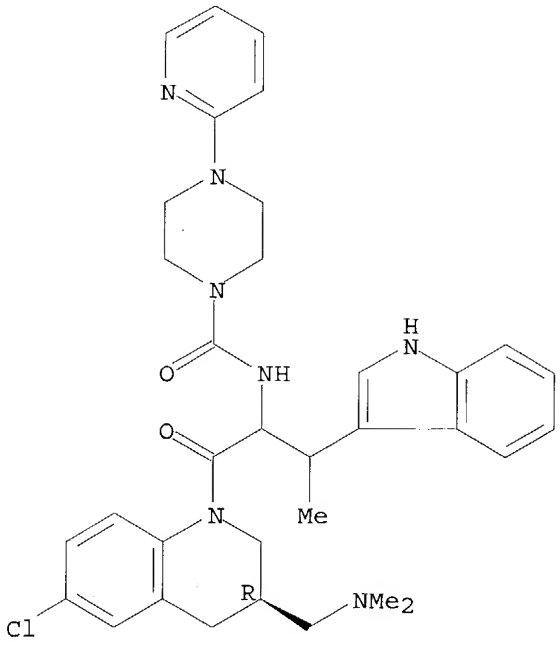


RN 528859-95-2 HCAPLUS
CN 1-Piperazinecarboxamide, N-[1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-4-(2-pyridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

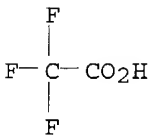
CRN 528859-94-1
CMF C34 H40 Cl N7 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

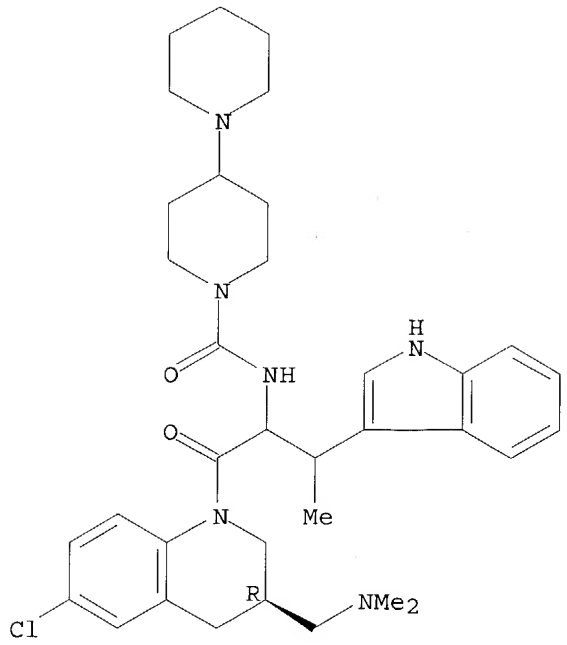


RN 528860-04-0 HCAPLUS
CN [1,4'-Bipiperidine]-1'-carboxamide, N-[1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

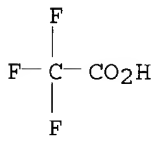
CRN 528860-03-9
CMF C35 H47 Cl N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 528860-07-3 HCAPLUS
CN 1-Piperazinecarboxamide, N-[1-[[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-2-(1H-indol-3-yl)propyl]-4-(2-pyrimidinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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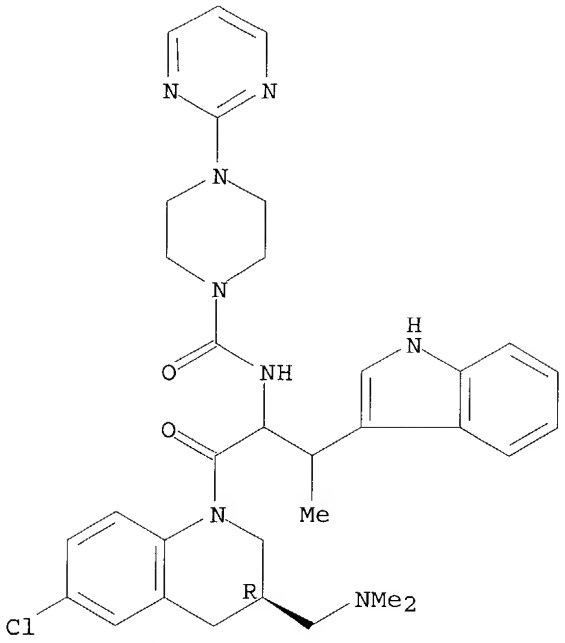
CRN 528860-06-2
CMF C33 H39 Cl N8 O2

Absolute stereochemistry.



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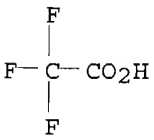

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:265411 HCAPLUS
DOCUMENT NUMBER: 134:295840
TITLE: Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors
INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro
PATENT ASSIGNEE(S): Tadeka Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

This work

WO 2001025228	A1	20010412	WO 2000-JP6937	20001005
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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JP 2002088079	A2	20020327	JP 2000-311723	20001005
EP 1227090	A1	20020731	EP 2000-964676	20001005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			JP 1999-286939	A 19991007
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OTHER SOURCE(S): MARPAT 134:295840

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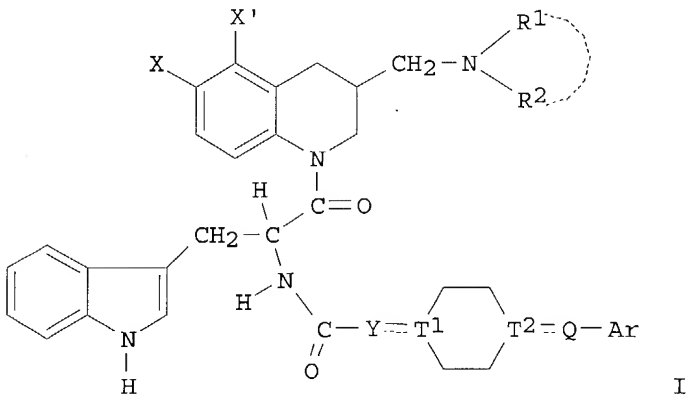

I

AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-containing heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted aromatic group, hydrogen, etc.; a provision is given] are prepared In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

IT **333952-79-7P 333952-81-1P 333952-82-2P**
333952-83-3P 333952-84-4P 333952-85-5P
333952-86-6P 333952-90-2P 333952-91-3P
333952-92-4P 333953-09-6P 333953-14-3P
333953-17-6P 333953-18-7P 333953-20-1P
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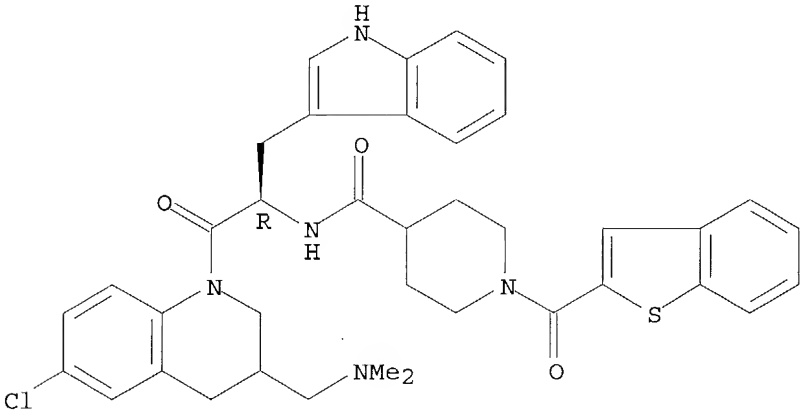
333953-83-6P 333953-84-7P 333953-86-9P
333953-87-0P 333953-88-1P 333954-04-4P
333954-07-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

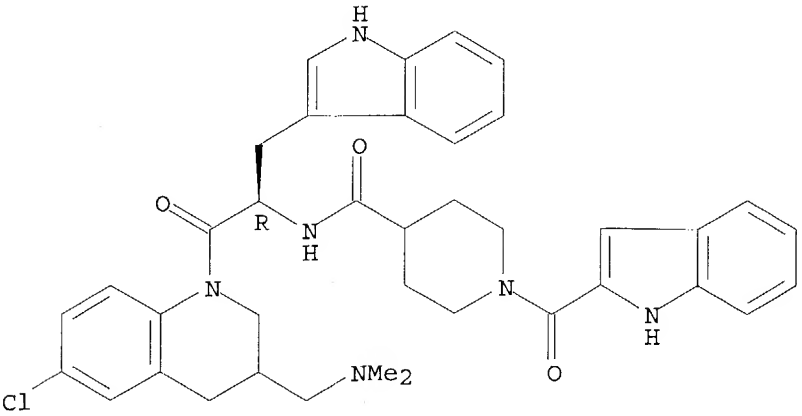
RN 333952-79-7 HCAPLUS
CN 4-Piperidinecarboxamide, 1-(benzo[b]thien-2-ylcarbonyl)-N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333952-81-1 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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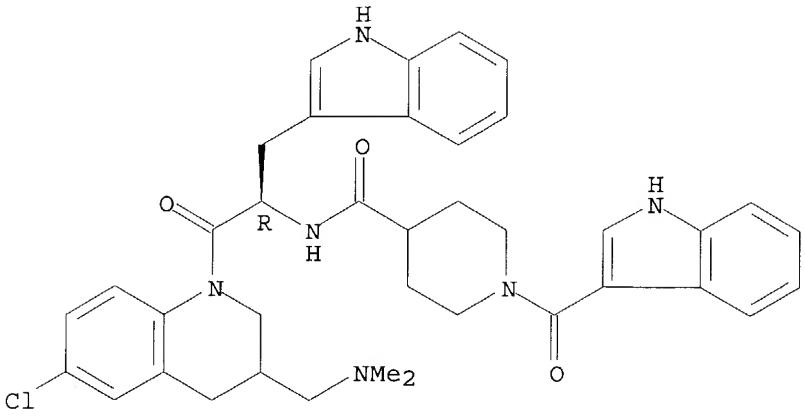
CN 4-Piperidinecarboxamide, N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(1H-indol-

3-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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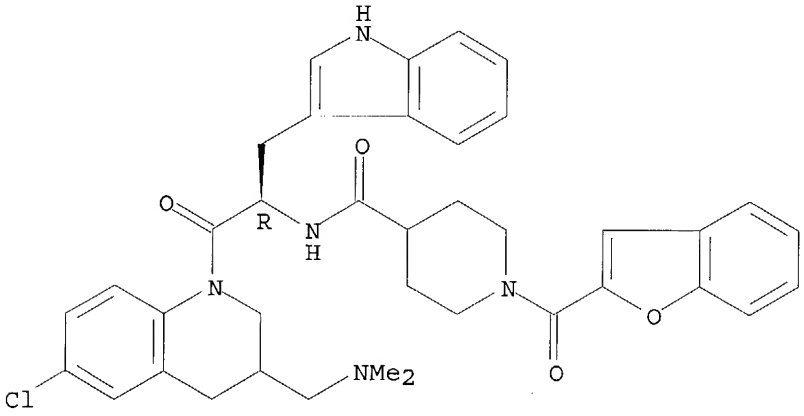
CN 4-Piperidinecarboxamide, 1-(2-benzofuranylcarbonyl)-N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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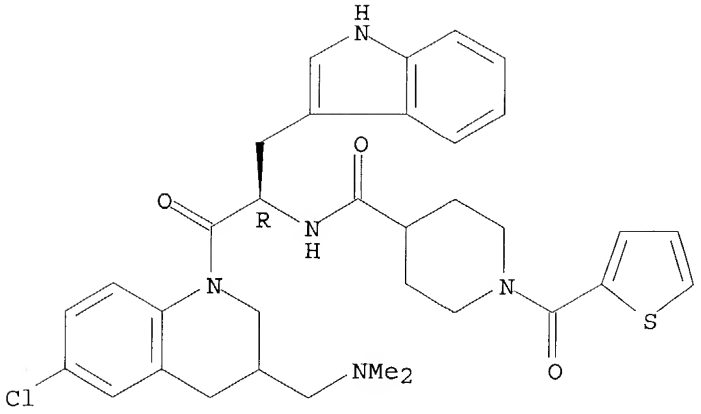
Absolute stereochemistry.



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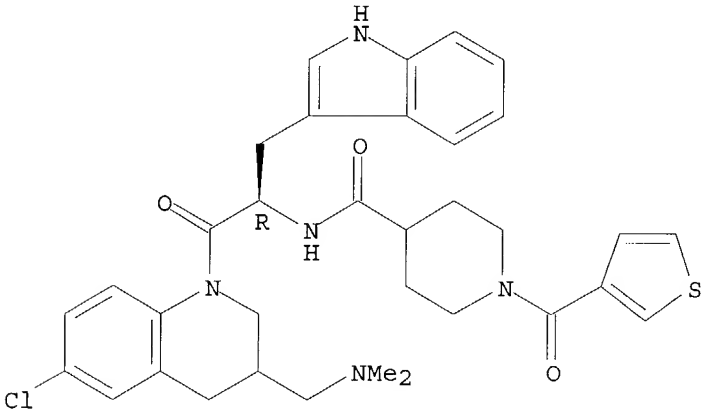
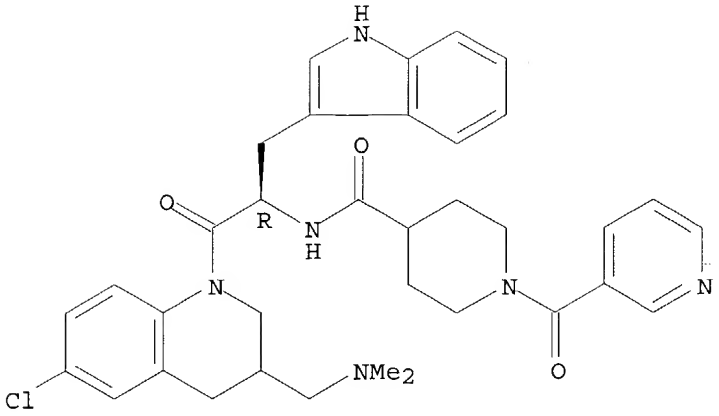
Absolute stereochemistry.



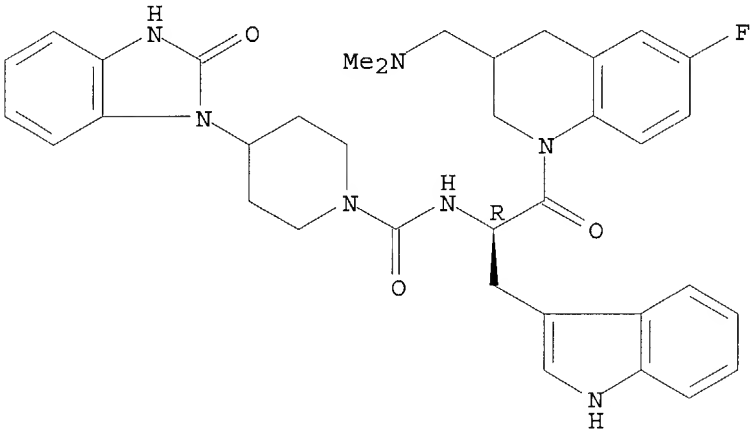
RN 333952-86-6 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



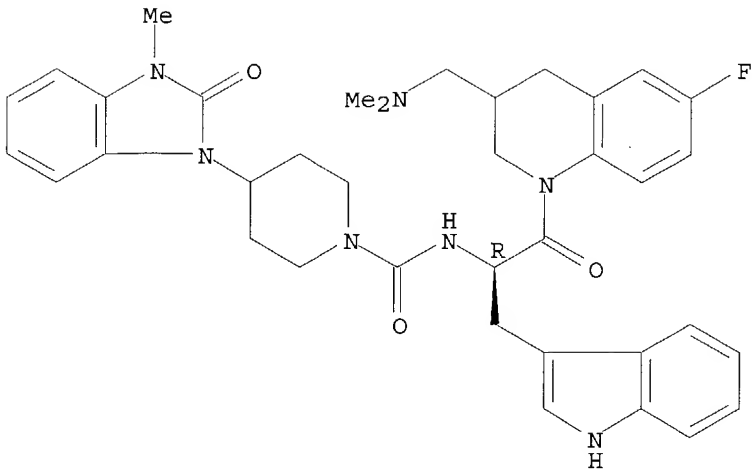
RN 333952-90-2 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[3-[(dimethylamino)methyl]-6-fluoro-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



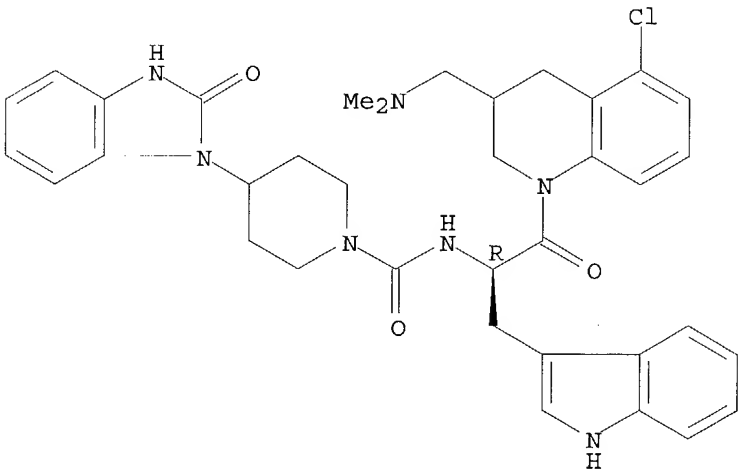
RN 333952-91-3 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[3-[(dimethylamino)methyl]-6-fluoro-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



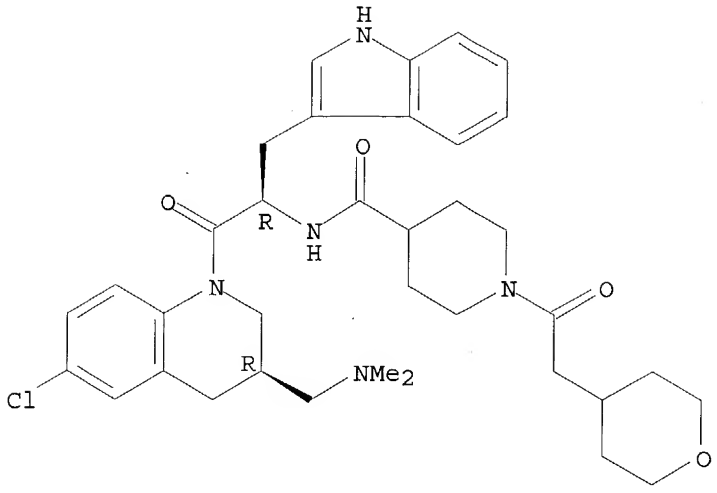
RN 333952-92-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[5-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



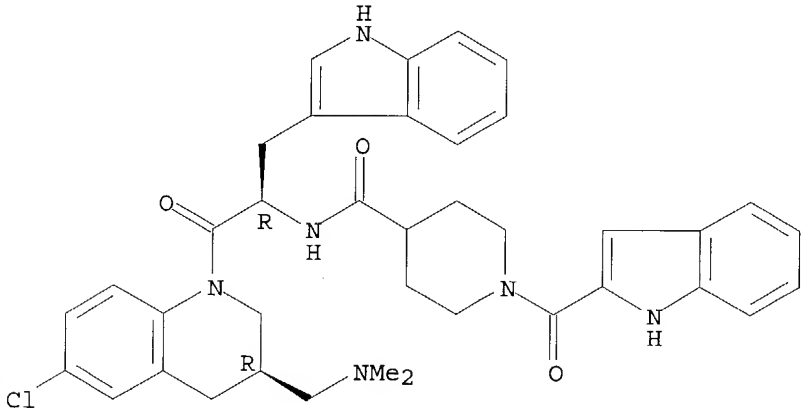
RN 333953-09-6 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[(tetrahydro-2H-pyran-4-yl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



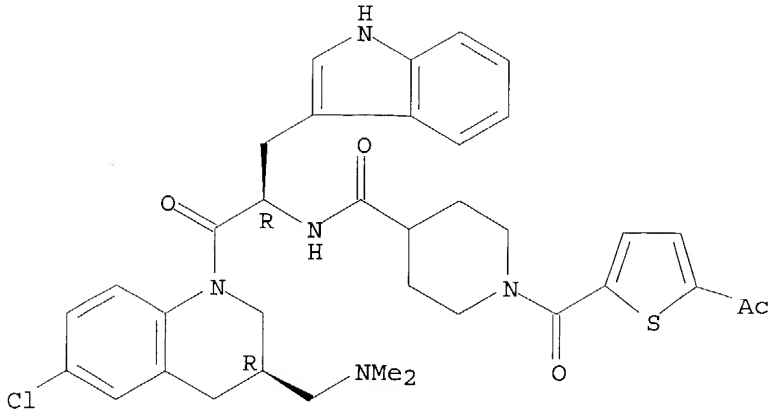
RN 333953-14-3 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



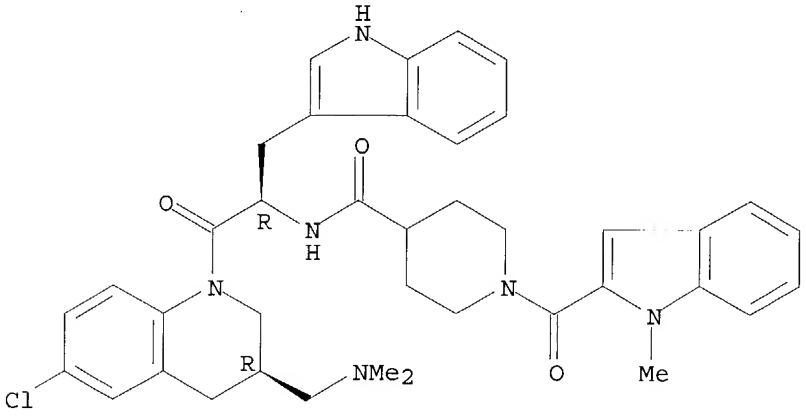
RN 333953-17-6 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-acetyl-2-thienyl)carbonyl]-N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



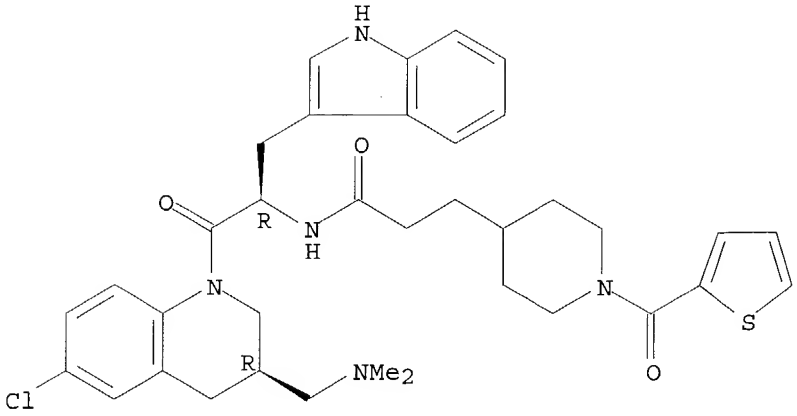
RN 333953-18-7 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



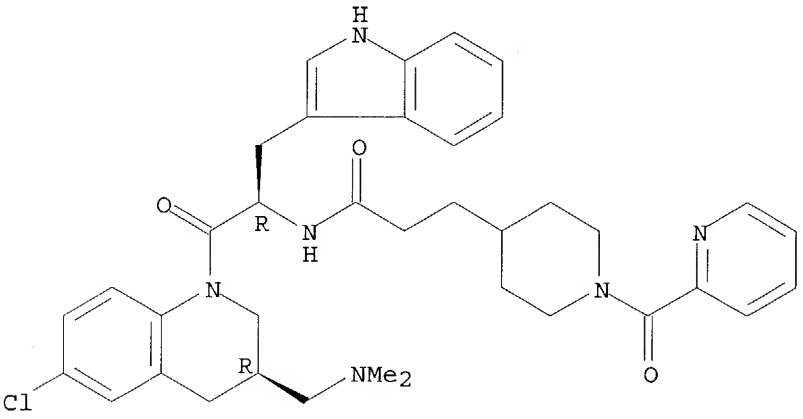
RN 333953-20-1 HCAPLUS
CN 4-Piperidinepropanamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



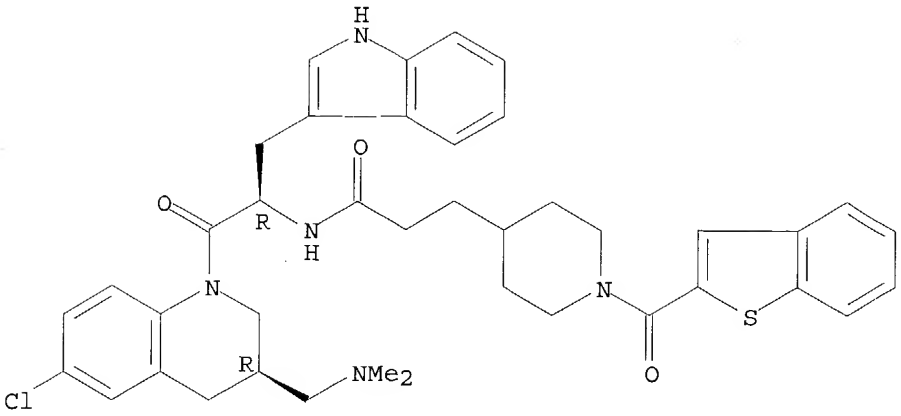
RN 333953-21-2 HCAPLUS
CN 4-Piperidinepropanamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(2-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



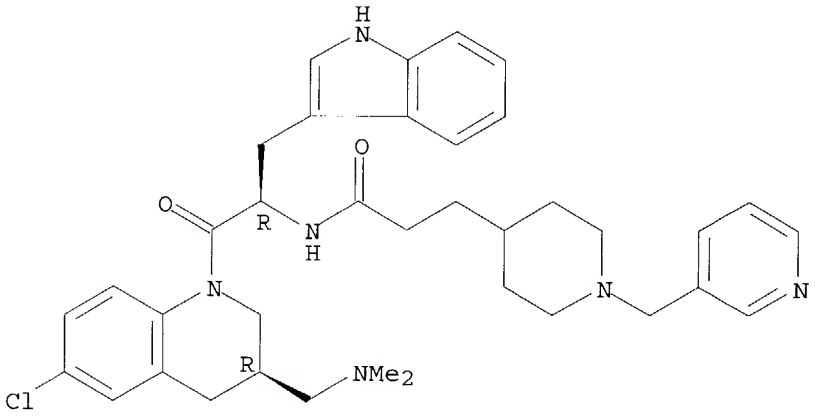
RN 333953-22-3 HCAPLUS
CN 4-Piperidinepropanamide, 1-(benzo[b]thien-2-ylcarbonyl)-N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



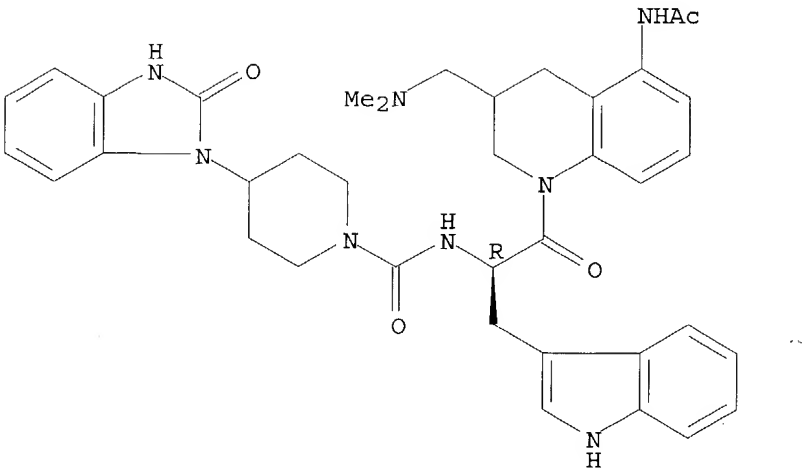
RN 333953-61-0 HCAPLUS
CN 4-Piperidinepropanamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



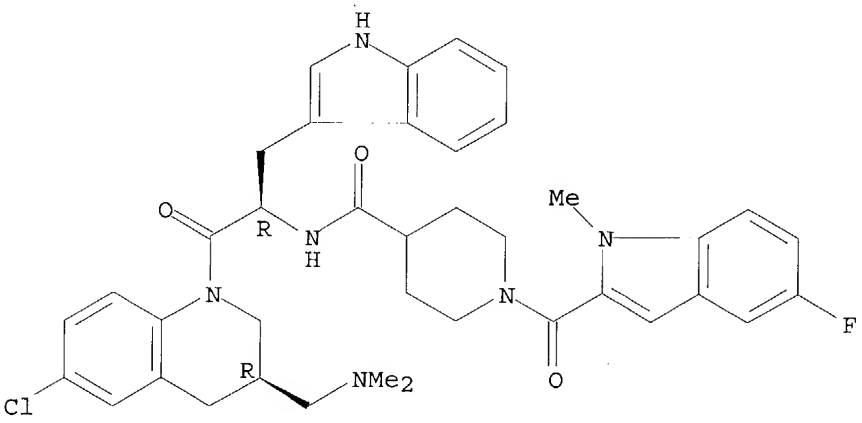
RN 333953-75-6 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[5-(acetylamino)-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



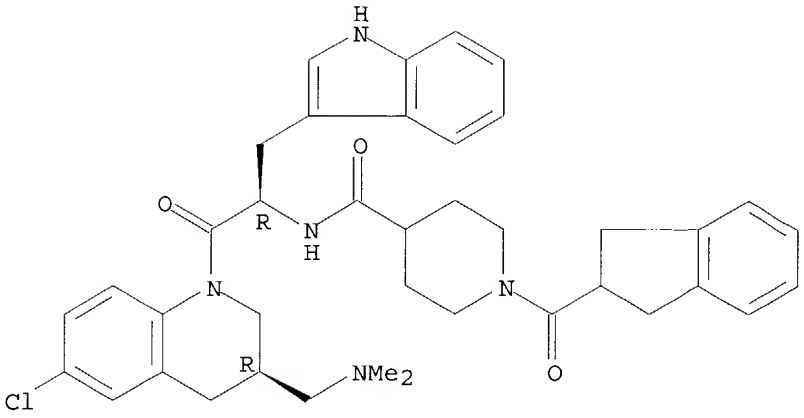
RN 333953-81-4 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[(5-fluoro-1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



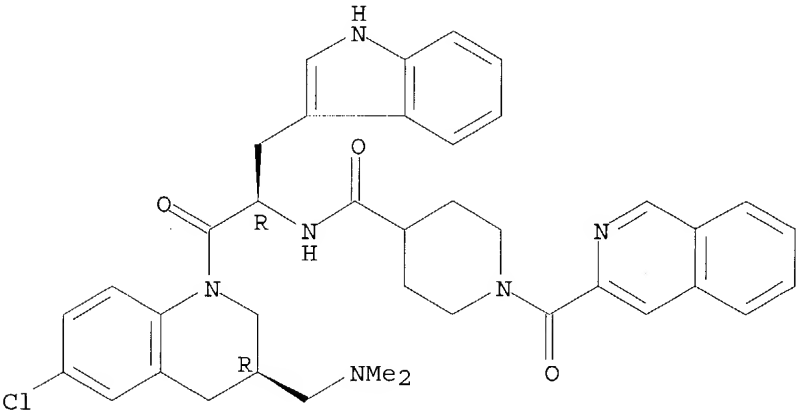
RN 333953-82-5 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[(2,3-dihydro-1H-inden-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



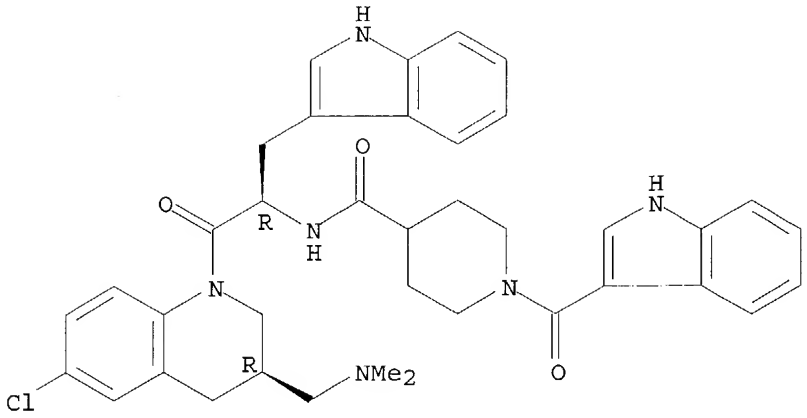
RN 333953-83-6 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(3-isoquinolinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



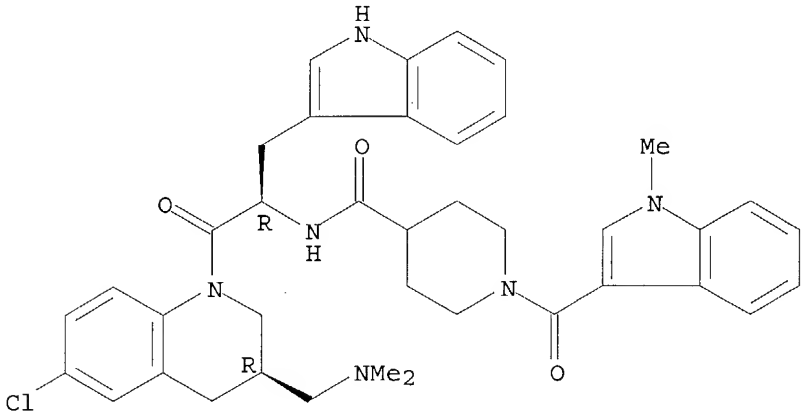
RN 333953-84-7 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



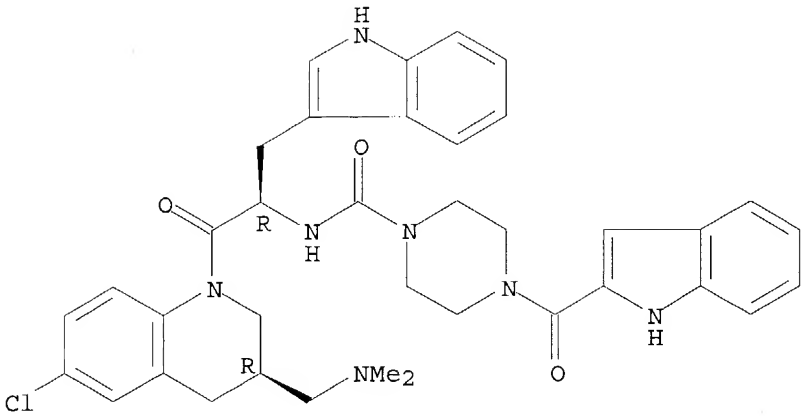
RN 333953-86-9 HCAPLUS
CN 4-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[(1-methyl-1H-indol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



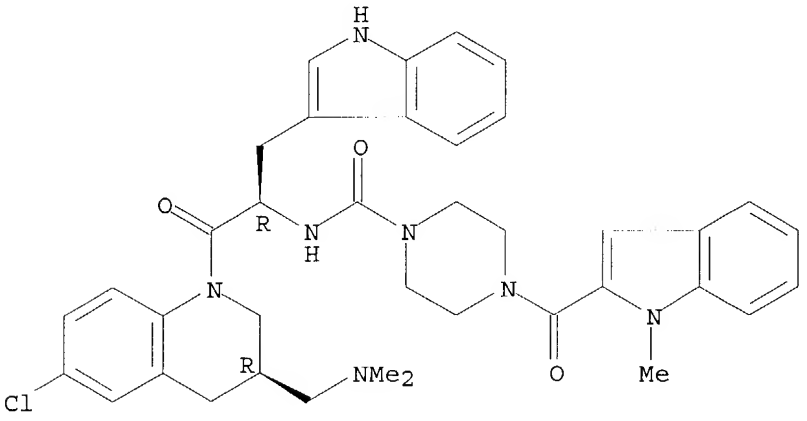
RN 333953-87-0 HCAPLUS
CN 1-Piperazinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



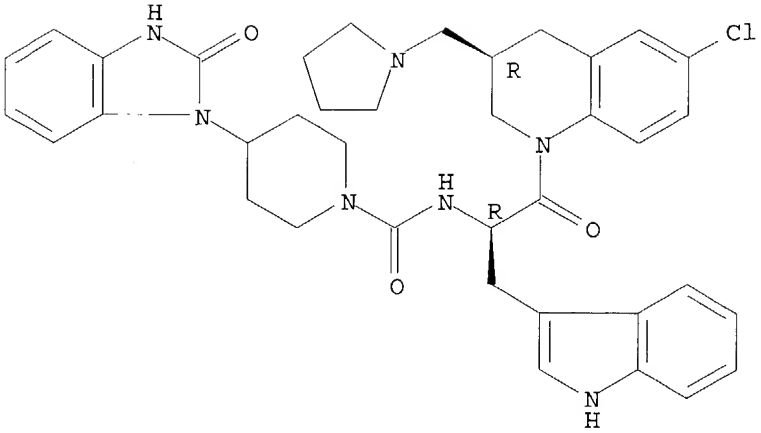
RN 333953-88-1 HCAPLUS
CN 1-Piperazinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



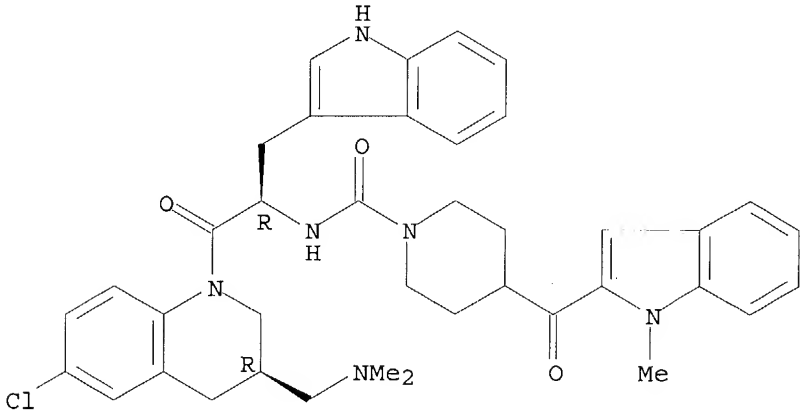
RN 333954-04-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3,4-dihydro-3-(1-pyrrolidinylmethyl)-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



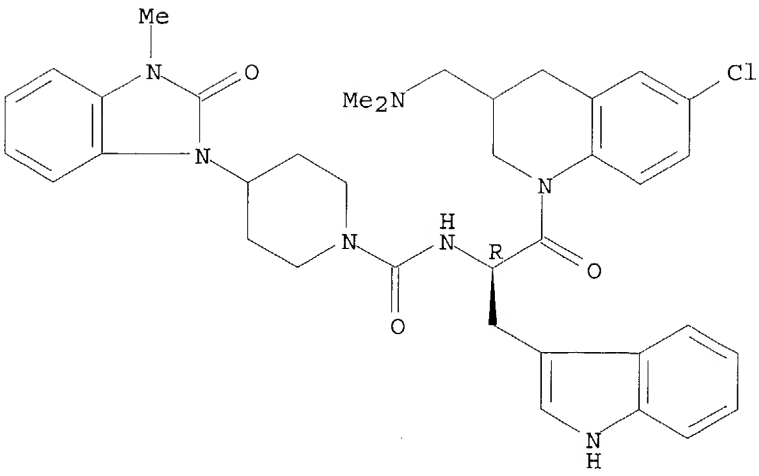
RN 333954-07-7 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **333954-62-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)
RN 333954-62-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

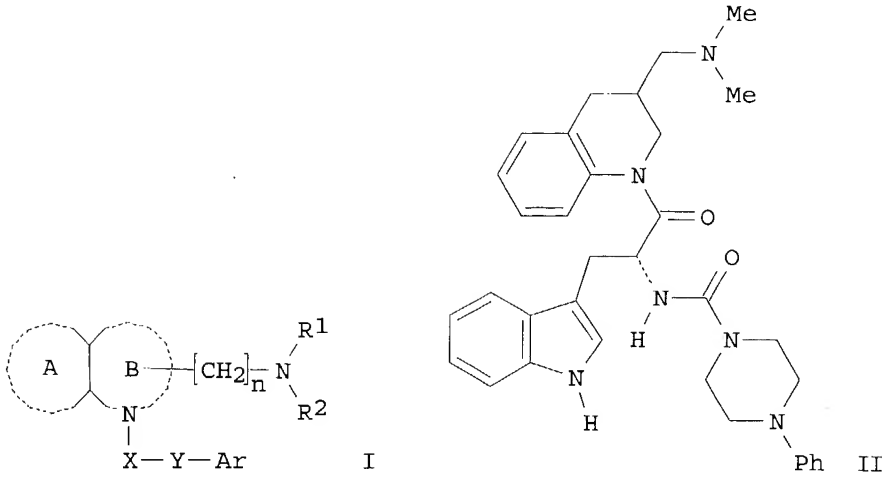


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:672759 HCAPLUS
DOCUMENT NUMBER: 131:286420
TITLE: Preparation of amine compounds as somatostatin receptor antagonists or agonists
INVENTOR(S): Suzuki, Nobuhiro; Kato, Kaneyoshi; Takekawa, Shiro; Terauchi, Jun; Endo, Satoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952875	A1	19991021	WO 1999-JP1871	19990408
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327695	AA	19991021	CA 1999-2327695	19990408
AU 9952655	A1	19991101	AU 1999-52655	19990408
JP 2000226373	A2	20000815	JP 1999-100828	19990408
EP 1070054	A1	20010124	EP 1999-945683	19990408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6329389	B1	20011211	US 1999-424285	19991119
PRIORITY APPLN. INFO.:			JP 1998-96422 A	19980408
			JP 1998-345328 A	19981204
			WO 1999-JP1871 W	19990408

OTHER SOURCE(S): MARPAT 131:286420
GI



I II

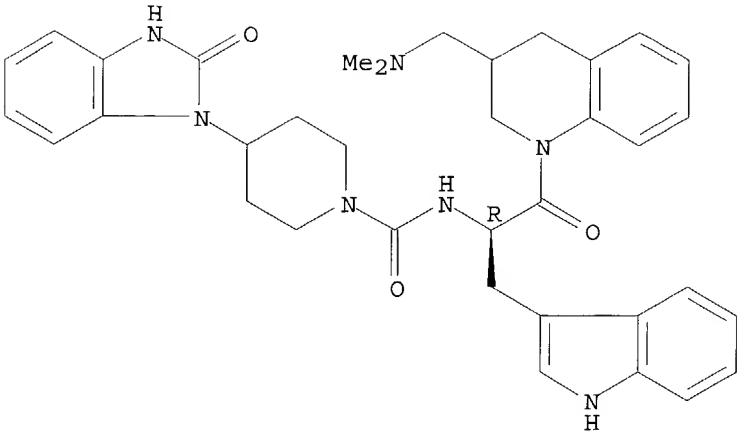
AB The title compds. [I; Ar = (un)substituted aromatic; X = CH2, S, SO, SO2, CO; Y = a spacer having a main chain of 2-5 atoms; n = 1-5; R1, R2 = H, lower alkyl; NR1R2 = (un)substituted nitrogen-containing heterocyclic ring; R1 or R2 together with -(CH2)n-N= form, bonded to a component atom of Ring B, a spiro-ring which may be substituted; Ring A = (un)substituted aromatic; Ring B = (un)substituted 4-7 membered nitrogen-containing non-aromatic ring, with a proviso that X = S, SO, SO2, CO when Ring A has as a substituent a group -NHCOR11 (wherein R11 = alkyl, alkoxyalkyl, alkylthioalkyl, etc.) or a group NHR12 (R12 = alkyl, cycloalkyl, cycloalkylalkyl, etc.)] or their salts which have an excellent somatostatin receptor binding inhibition action and are useful for preventing or treating glaucoma, acromegaly, diabetes, diabetic complications or tumor, and as analgesics, were prepared Thus, treatment of 1-[2-(R)-amino-3-(indol-3-yl)propanoyl]-3-(R,S)-(N,N-dimethylamino)methyl-1,2,3,4-tetrahydroquinoline (preparation described) with N,N'-disuccinimidyl carbonate and N-ethyldiisopropylamine in THF followed by the addition of solution of 1-phenylpiperazine and N-ethyldiisopropylamine in
THF afforded II which showed IC50 of 0.009 μM and 0.0008 μM against SSTR2 and SSTR3 binding, resp.

IT **246866-13-7P 246866-20-6P 246866-21-7P**
246866-56-8P 246866-57-9P 246866-62-6P
246866-63-7P 246866-71-7P 246866-73-9P
246866-76-2P 246866-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amine compds. as somatostatin receptor antagonists or agonists)

RN 246866-13-7 HCAPLUS

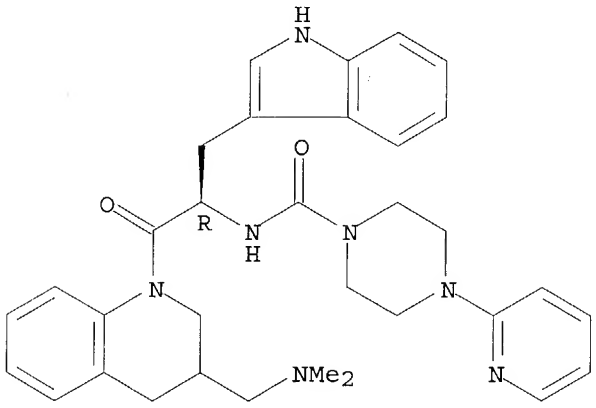
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



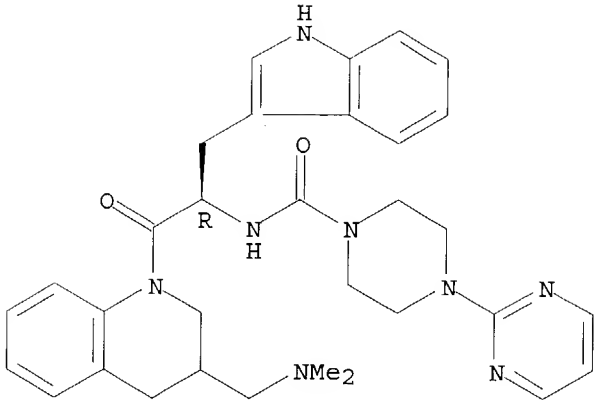
RN 246866-20-6 HCAPLUS
CN 1-Piperazinecarboxamide, N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2-pyridinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



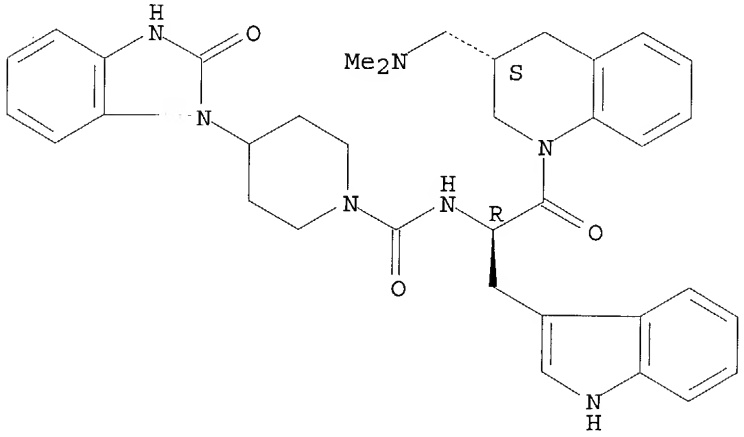
RN 246866-21-7 HCAPLUS
CN 1-Piperazinecarboxamide, N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2-pyrimidinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



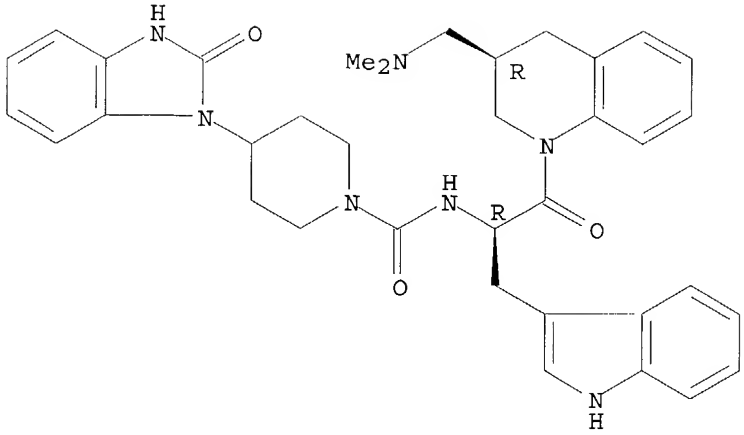
RN 246866-56-8 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[(3S)-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



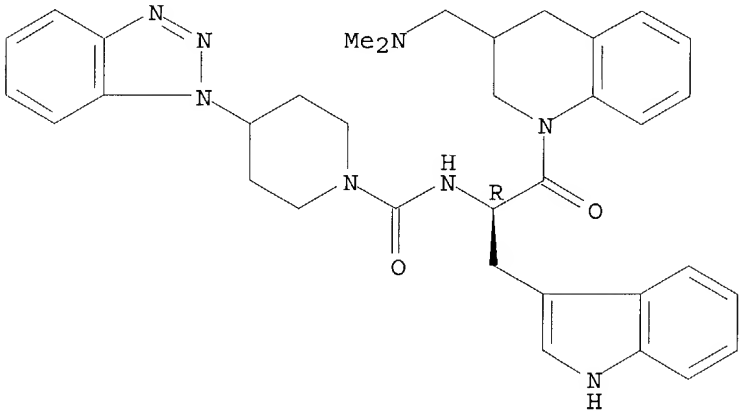
RN 246866-57-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[(3R)-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



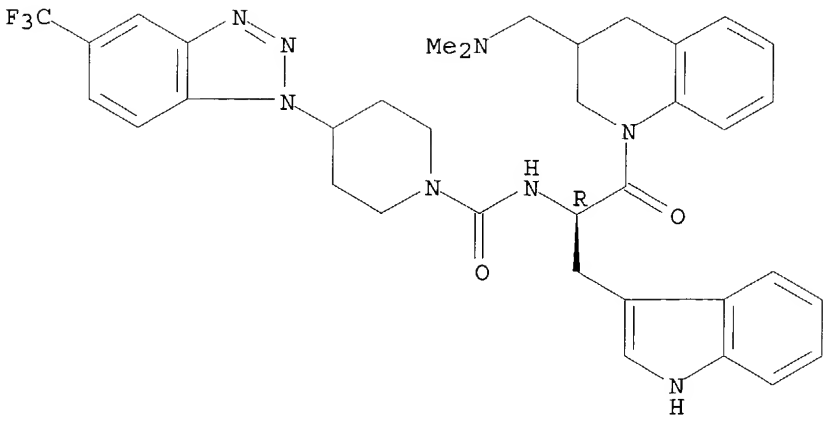
RN 246866-62-6 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(1H-benzotriazol-1-yl)-N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



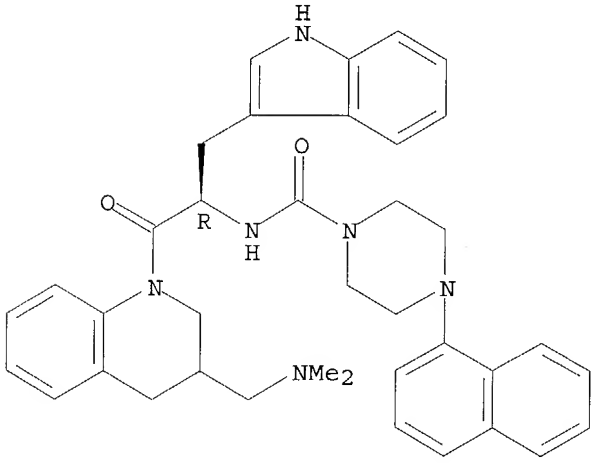
RN 246866-63-7 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-[5-(trifluoromethyl)-1H-benzotriazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



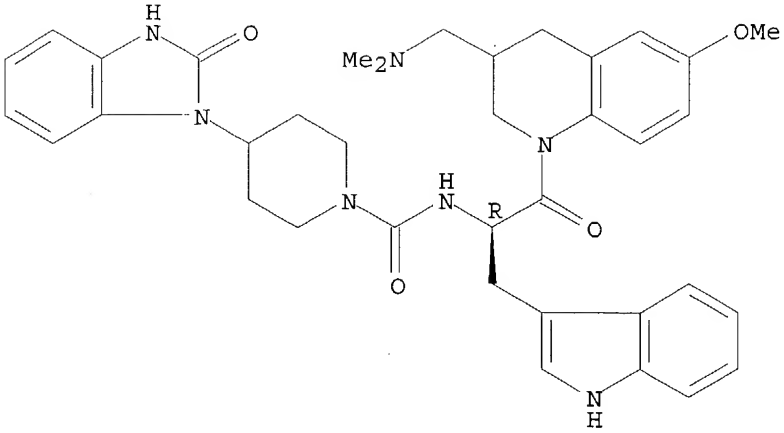
RN 246866-71-7 HCAPLUS
CN 1-Piperazinecarboxamide, N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(1-naphthalenyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



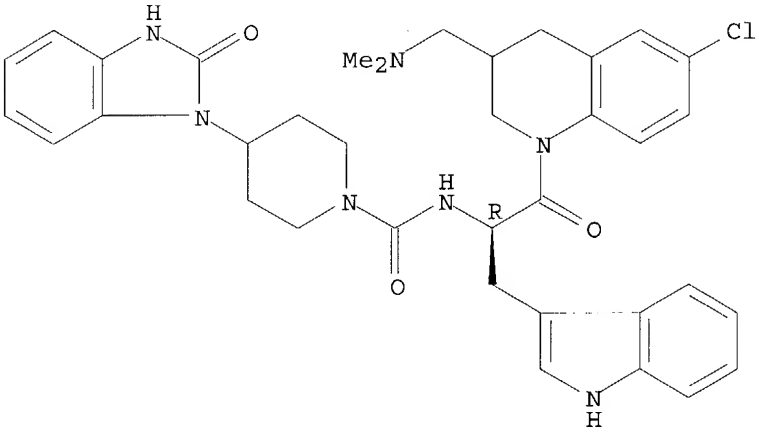
RN 246866-73-9 HCAPLUS
CN 1-Piperidinecarboxamide, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-N-[(1R)-2-[3-[(dimethylamino)methyl]-3,4-dihydro-6-methoxy-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



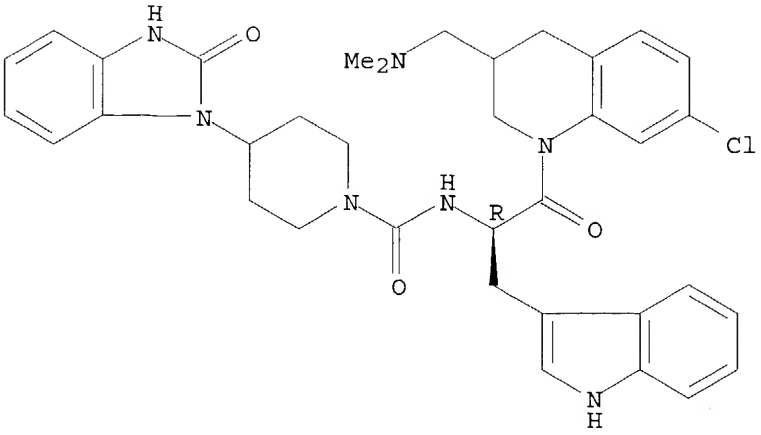
RN 246866-76-2 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 246866-91-1 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-[7-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'HOME' ENTERED AT 13:06:36 ON 16 AUG 2004

=> log h

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